L Number	Hits	Search Text	DB	Time stamp
1	8834	quinazolin or quinazolinyl or quinazoline	USPAT; US-PGPUB	2003/07/25 15:42
2	314	(quinazolin or quinazolinyl or quinazoline) and '4-amino'	USPAT; US-PGPUB	2003/07/25 15:42
3	175	((quinazolin or quinazolinyl or quinazoline) and '4-amino') and (urea or thiourea or guanidine)	USPAT; US-PGPUB	2003/07/25 16:02
4	3171	(quinazolin or quinazolinyl or quinazoline) and (urea or thiourea or guanidine)	USPAT; US-PGPUB	2003/07/25 16:04

EAST
10/019,945

10/ 019,945

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LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Feb 24	PCTGEN now available on STN
NEWS 4	Feb 24	TEMA now available on STN
NEWS 5	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 6	Feb 26	PCTFULL now contains images
NEWS 7	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8	Mar 24	PATDPAFULL now available on STN
NEWS 9	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 10	Apr 11	Display formats in DGENE enhanced
NEWS 11	Apr 14	MEDLINE Reload
NEWS 12	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 13	Jun 13	Indexing from 1947 to 1956 added to records in CA/CAPLUS
NEWS 14	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 15	Apr 28	RDISCLOSURE now available on STN
NEWS 16	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 17	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 18	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19	May 19	Simultaneous left and right truncation added to WSCA
NEWS 20	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 21	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 22	Jun 06	PASCAL enhanced with additional data
NEWS 23	Jun 20	2003 edition of the FSTA Thesaurus is now available
NEWS 24	Jun 25	HSDB has been reloaded
NEWS 25	Jul 16	Data from 1960-1976 added to RDISCLOSURE
NEWS 26	Jul 21	Identification of STN records implemented
NEWS 27	Jul 21	Polymer class term count added to REGISTRY
NEWS 28	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:58:37 ON 25 JUL 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:58:43 ON 25 JUL 2003

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 JUL 2003 HIGHEST RN 553610-78-9
DICTIONARY FILE UPDATES: 23 JUL 2003 HIGHEST RN 553610-78-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

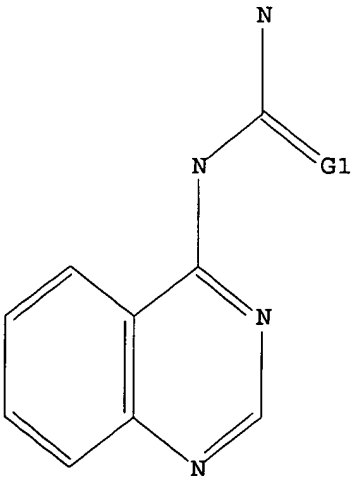
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10019945.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S,N

10/ 019,945

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 12:59:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 807 TO ITERATE

100.0% PROCESSED 807 ITERATIONS 553 ANSWERS
SEARCH TIME: 00.00.01

L2 553 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 12:59:11 ON 25 JUL 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 25 Jul 2003 VOL 139 ISS 5
FILE LAST UPDATED: 24 Jul 2003 (20030724/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 13 L2

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 13 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:31424 CAPLUS
DOCUMENT NUMBER: 136:102393
TITLE: Preparation of quinazolinylureas for treatment of solid tumors.
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Ltd.
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002534	A1	20020110	WO 2001-GB2874	20010628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2002016758 A5 20020114 AU 2002-16758 20010628

PRIORITY APPLN. INFO.: EP 2000-401897 A 20000703
WO 2001-GB2874 W 20010628

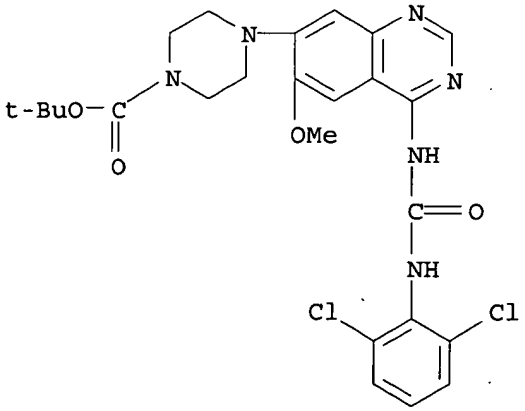
OTHER SOURCE(S): MARPAT 136:102393

AB Use of Q1R2NC(:Z)NR3Q2 [Q1 = (substituted) (fused) quinazolinyl, quinolinyl, etc.; Q2 = (substituted) aryl, aralkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl; R2, R3 = H, alkyl; R2R3 = CH2, CH2CH2, (CH2)3] as antiinvasive agents in the containment and/or treatment of solid tumor disease is claimed. Thus, 2,6-dichlorophenyl isocyanate was added to a soln. of 4-amino-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline (prepn. given) in CH2Cl2/DMF followed by stirring to give 1-(2,6-dichlorophenyl)-3-[6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazolin-4-yl]urea. Title compds. inhibited proliferation of NIH 3T3 fibroblasts with IC50 in the range, for example, of 0.001-10 .mu.M.

IT **320364-63-4P 320365-15-9P 320365-16-0P**
320365-17-1P 320365-18-2P 320365-19-3P
320365-36-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinylureas for treatment of solid tumors)

RN 320364-63-4 CAPLUS

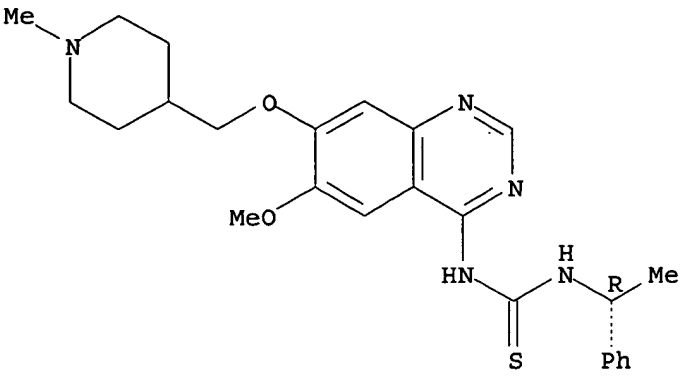
CN 1-Piperazinecarboxylic acid, 4-[4-[[[(2,6-dichlorophenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



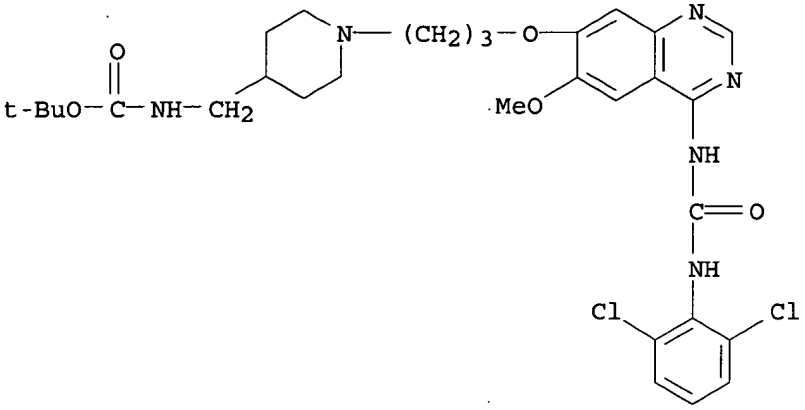
RN 320365-15-9 CAPLUS

CN Thiourea, N-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]-N'-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

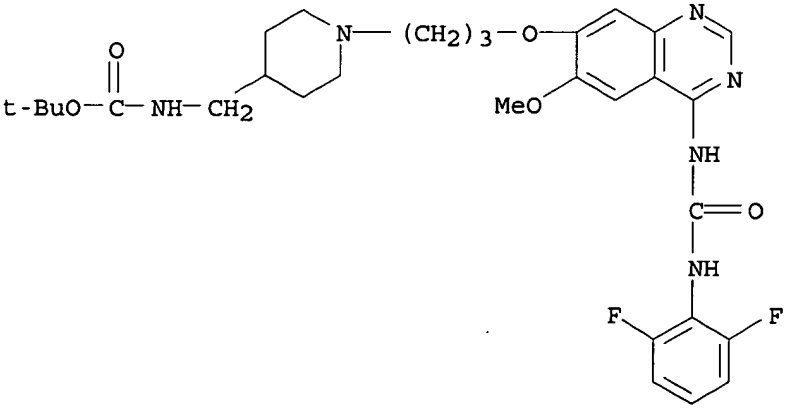
Absolute stereochemistry. Rotation (+).



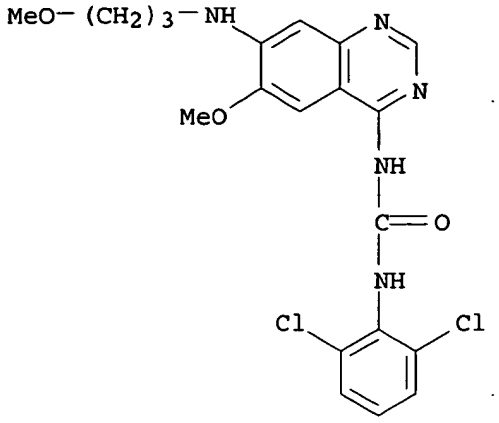
RN 320365-16-0 CAPLUS
CN Carbamic acid, [[1-[3-[[4-[[[(2,6-dichlorophenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



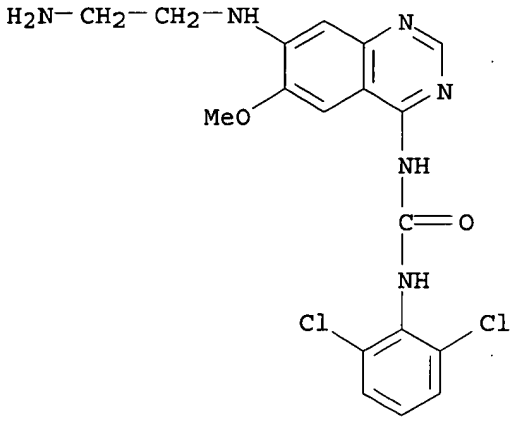
RN 320365-17-1 CAPLUS
CN Carbamic acid, [[1-[3-[[4-[[[(2,6-difluorophenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



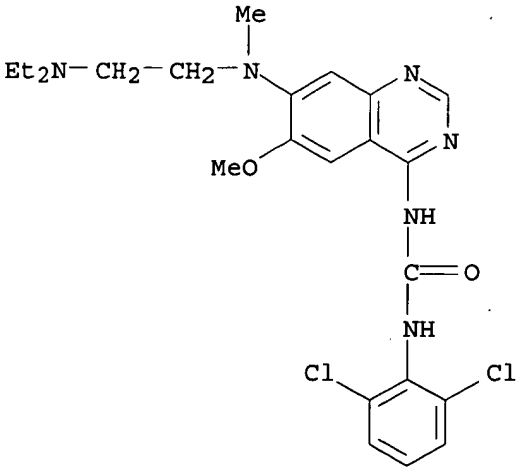
RN 320365-18-2 CAPLUS



RN 320364-69-0 CAPLUS
CN Urea, N-[7-[(2-aminoethyl)amino]-6-methoxy-4-quinazolinyl]-N'-(2,6-dichlorophenyl)- (9CI) (CA INDEX NAME)



RN 320364-70-3 CAPLUS
CN Urea, N-(2,6-dichlorophenyl)-N'-[7-[[2-(diethylamino)ethyl]methylamino]-6-methoxy-4-quinazolinyl]- (9CI) (CA INDEX NAME)



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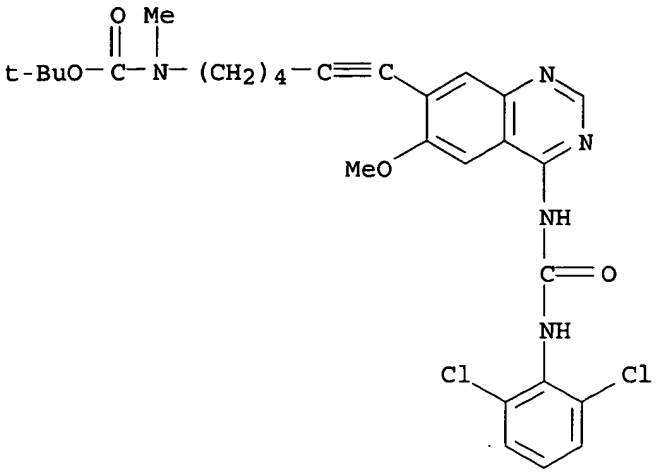
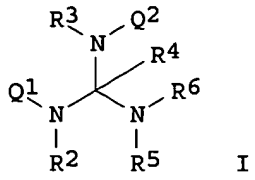


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:10463 CAPLUS
DOCUMENT NUMBER: 136:85816
TITLE: Synthesis of guanidine derivatives of quinazoline and quinoline for use in the treatment of autoimmune diseases
INVENTOR(S): Poyser, Jeffrey Philip
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000644	A1	20020103	WO 2001-GB2698	20010619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1296973	A1	20030402	EP 2001-940757	20010619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: GB 2000-15376 A 20000624
GB 2000-30989 A 20001219
WO 2001-GB2698 W 20010619
OTHER SOURCE(S): MARPAT 136:85816
GI



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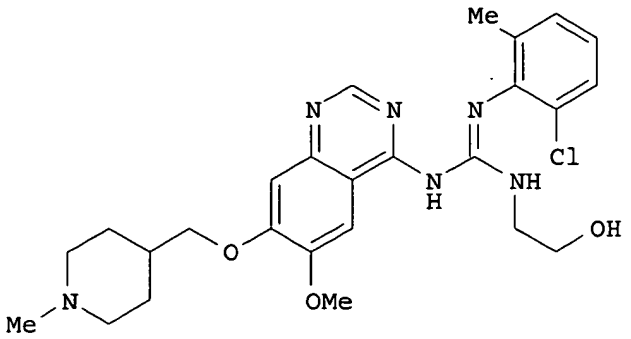


II

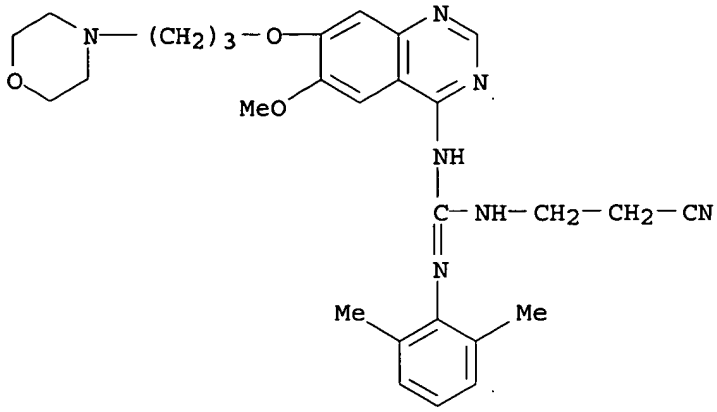
AB Title compds. I [Q1 = (un)substituted quinazolinyl and quinazolinyl-like ring; R2 = H, alkyl; R3 = H, alkyl, or R2 and R3 together form a CH2, (CH2)2 or (CH2)3 group; R5 = H, alkyl, or R5 and R6 together with the N atom to which they are attached form a 4- to 7-membered heterocyclic ring optionally contg. a further heteroatom selected from 0, N and S, provided that one of the pairs of groups R2 and R4 together, R3 and R4 together and R5 and R4 together forms a bond; Q2 = aryl, arylalkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl or heteroarylcycloalkyl; R6 = (un)substituted group selected from alkenyl, alkynyl, cycloalkyl and cycloalkenyl, or R6 is a substituted alkyl group, and wherein adjacent carbon atoms in any alkylene chain within a R6 group are optionally sepd. by the insertion into the chain of a group selected from 0, S, SO, SO2, amino, CO, etc.; or a tautomer thereof] were prepd. Over 100 synthetic examples were provided. E.g., Et 3-methoxy-4-((N-methylpiperidin-4-yl)methoxy)benzoate (prepn. given) was nitrated (CH2Cl2, TFA, HNO3, 0.degree.C), the nitro group reduced (MeOH, Pt/C, 1.8 atm H2), the product condensed/cyclized (2-methoxyethanol, 115.degree.C, 2 h) and treated with thionyl chloride to give 4-chloro-6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazoline. This intermediate was treated with 4-bromo-2-fluorophenol (DMF, K2CO3, 100.degree.C, 2.5 h), ammonia in isopropanol (2M, 130.degree.C, 16 h) to give the 4-aminoquinazoline deriv. which was reacted with 2-chloro-6-methylphenylisothiocyanate (DMF, NaH) to afford 1-(2-chloro-6-methylphenyl)-3-[6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea. The thiourea was treated with 2-aminoethanol (CHCl3/MeOH, HgO, 2 h) to give example compd. II. I are used in the prevention or treatment of T cell mediated diseases.

IT **385812-61-3P 385812-68-0P 385812-70-4P**
385812-71-5P 385812-89-5P 385812-93-1P
385813-05-8P 385813-06-9P 385813-25-2P
385813-26-3P 385813-27-4P 385813-63-8P
385813-64-9P 385814-52-8P, N-(3-Dimethylaminopropyl)-N'-(2,6-dimethylphenyl)-N''-(7-hydroxy-6-methoxyquinazolin-4-yl)guanidine
385814-54-0P, N-(2-Dimethylaminoethyl)-N'-(2,6-dimethylphenyl)-N''-(7-hydroxy-6-methoxyquinazolin-4-yl)guanidine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

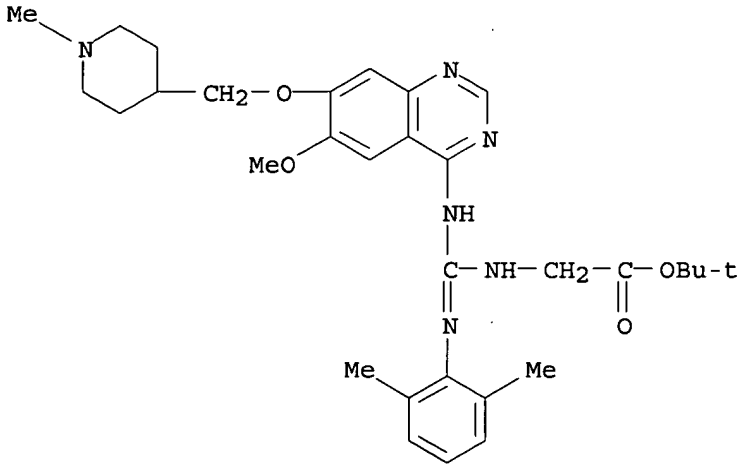
RN 385812-61-3 CAPLUS

CN Guanidine, N-(2-cyanoethyl)-N'-(2,6-dimethylphenyl)-N''-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 385812-68-0 CAPLUS

CN Glycine, N-[[(2,6-dimethylphenyl)amino][[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]amino]methylene]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 385812-70-4 CAPLUS

CN .beta.-Alanine, N-[[(2,6-dimethylphenyl)amino][[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]methylene]-, methyl ester (9CI) (CA INDEX NAME)

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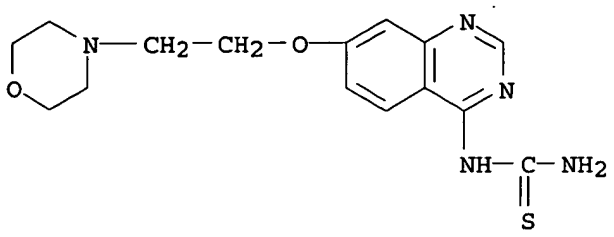
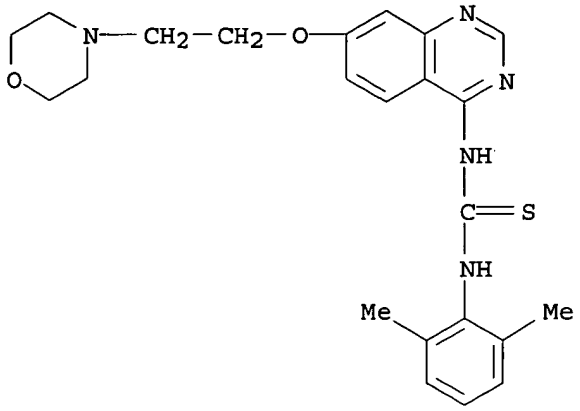
RN 385814-94-8 CAPLUS
CN Thiourea, [7-[2-(4-morpholinyl)ethoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)

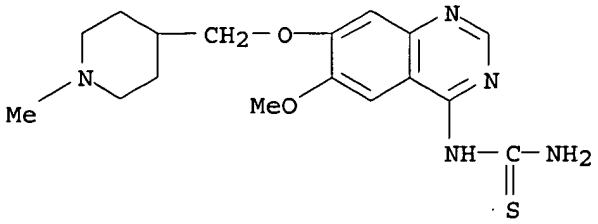


RN 385814-98-2 CAPLUS
CN Thiourea, N-(2,6-dimethylphenyl)-N'-[7-[2-(4-morpholinyl)ethoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)

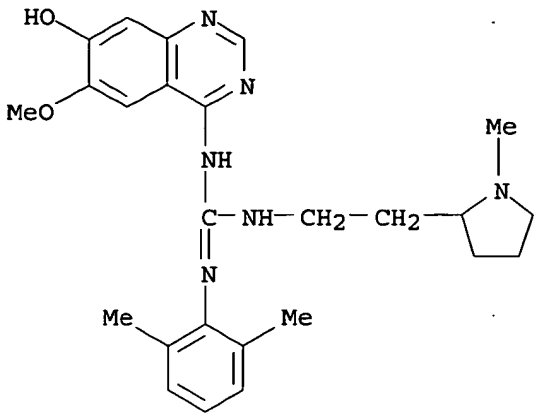


IT **385814-46-0**, 3-[6-Methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea **385814-89-1**, N-(7-Hydroxy-6-methoxyquinazolin-4-yl)-N'-(2,6-dimethylphenyl)-N''-[2-(N-methylpyrrolidin-2-yl)ethyl]guanidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

RN 385814-46-0 CAPLUS
CN Thiourea, [6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 385814-89-1 CAPLUS
CN Guanidine, N-(2,6-dimethylphenyl)-N'-(7-hydroxy-6-methoxy-4-quinazolinyl)-N''-[2-(1-methyl-2-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

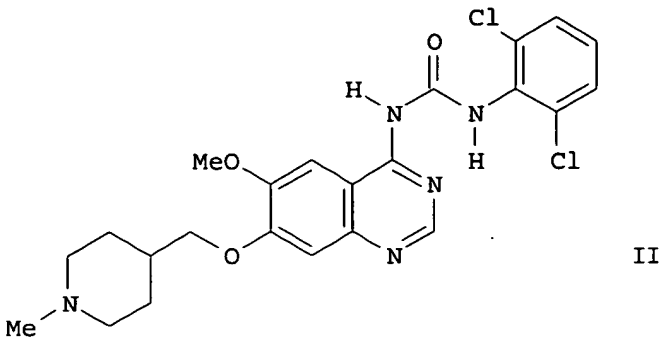


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:676589 CAPLUS
DOCUMENT NUMBER: 135:227013
TITLE: Preparation of quinazolinylureas and analogs as VEGF receptor antagonists
INVENTOR(S): Hennequin, Laurent François André; Crawley, Graham Charles; McKerrecher, Darren; Ple, Patrick; Poyser, Jeffrey Philip; Lambert, Christine Marie Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001066099	A2	20010913	WO 2001-GB863	20010301
WO 2001066099	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1272185	A2	20030108	EP 2001-907938	20010301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: EP 2000-400595 A 20000306
WO 2001-GB863 W 20010301
OTHER SOURCE(S): MARPAT 135:227013
GI



II

AB Q1NR2C(:X)NR3Q2 [I; Q1 = e.g., (un)substituted 4-quinazolinyl; Q2 = (un)substituted (hetero)aryl(alkyl), cycloalkyl, etc.; R2,R3 = H or alkyl; R2R3 = (CH2)1-3; X = O, S, NCN, (alkyl)imino] were prepd. Thus, Et piperidine-4-carboxylate was converted in 7 steps to Et 2-amino-5-methoxy-4-(1-methylpiperidine-4-ylmethoxy)benzoate which was cyclocondensed with HC(:NH)NH2.HOAc and the product converted in 4 steps to title compd. II. Data for biol. activity of I were given.

IT **320363-02-8P 320363-03-9P 320363-04-0P**
320363-05-1P 320363-06-2P 320363-07-3P
320363-08-4P 320363-09-5P 320363-10-8P
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320363-14-2P 320363-15-3P 320363-16-4P
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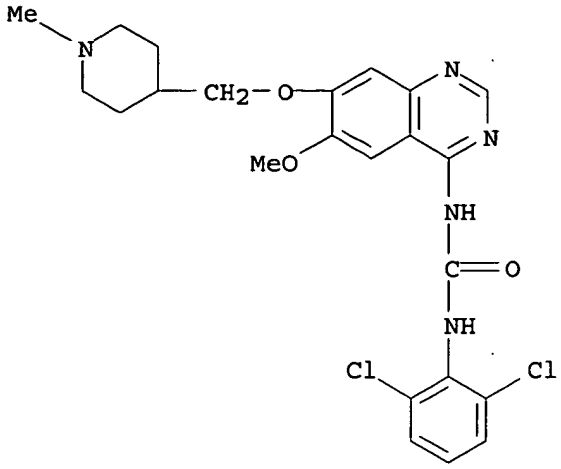
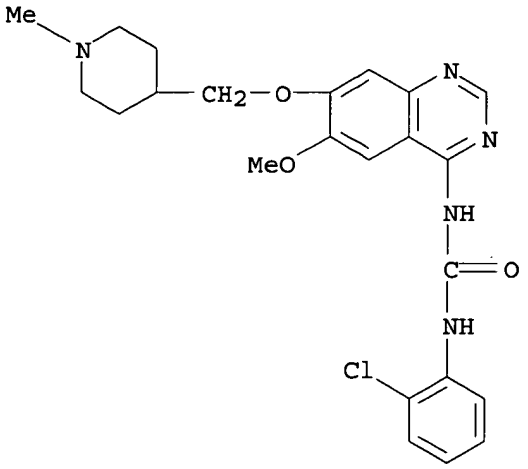
320365-80-8P 359701-31-8P 359701-34-1P
359701-36-3P 359701-37-4P 359701-38-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolinylureas and analogs as VEGF receptor antagonists)

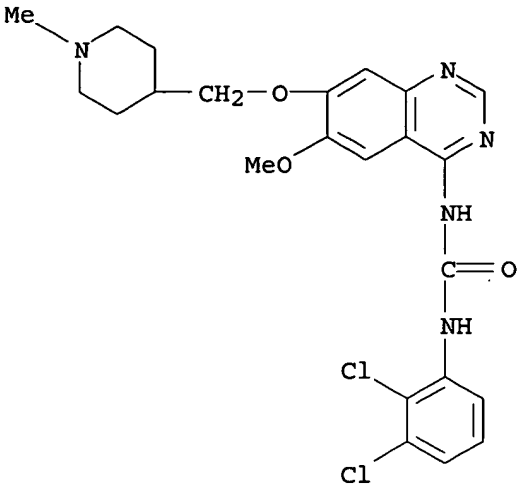
RN 320363-02-8 CAPLUS
CN Urea, N-(2,6-dichlorophenyl)-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



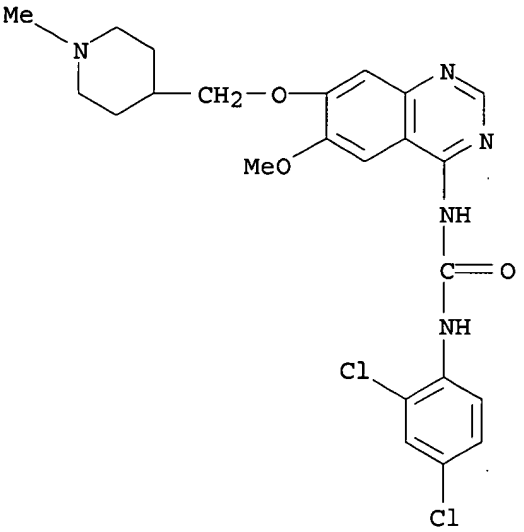
RN 320363-03-9 CAPLUS
CN Urea, N-(2-chlorophenyl)-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



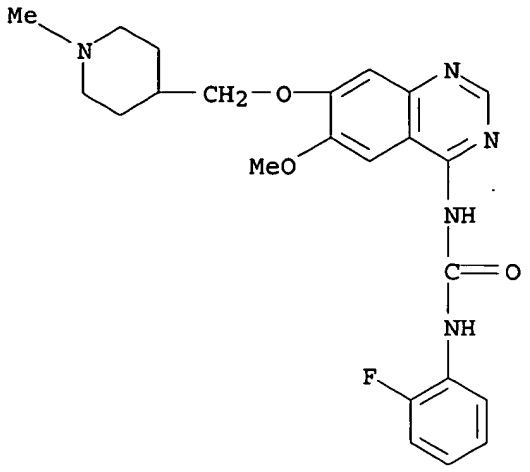
RN 320363-04-0 CAPLUS
CN Urea, N-(2,3-dichlorophenyl)-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



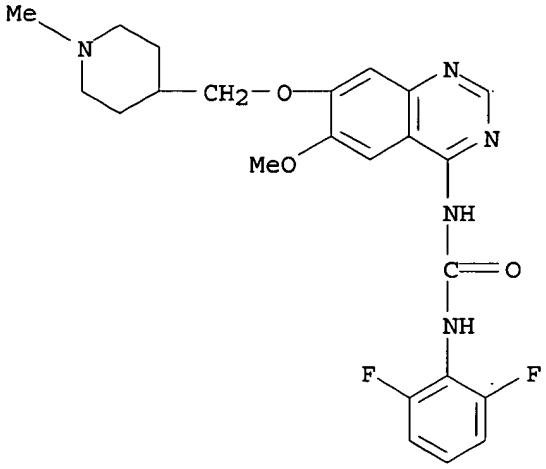
RN 320363-05-1 CAPLUS
CN Urea, N-(2,4-dichlorophenyl)-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



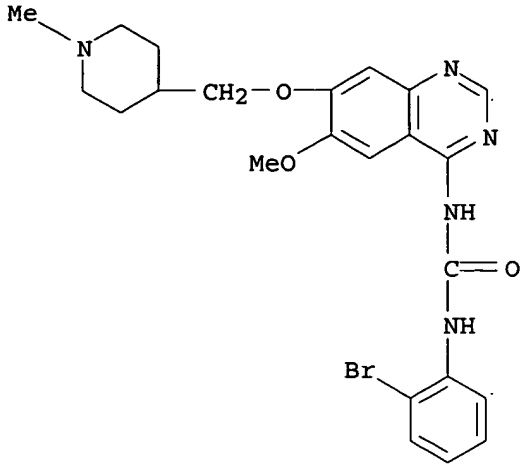
RN 320363-06-2 CAPLUS
CN Urea, N-(2-fluorophenyl)-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



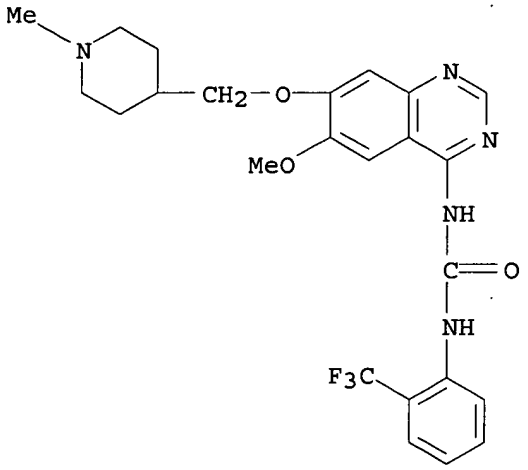
RN 320363-07-3 CAPLUS
CN Urea, N-(2,6-difluorophenyl)-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



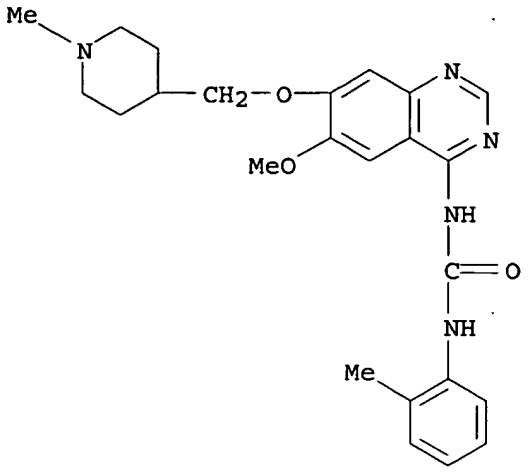
RN 320363-08-4 CAPLUS
CN Urea, N-(2-bromophenyl)-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



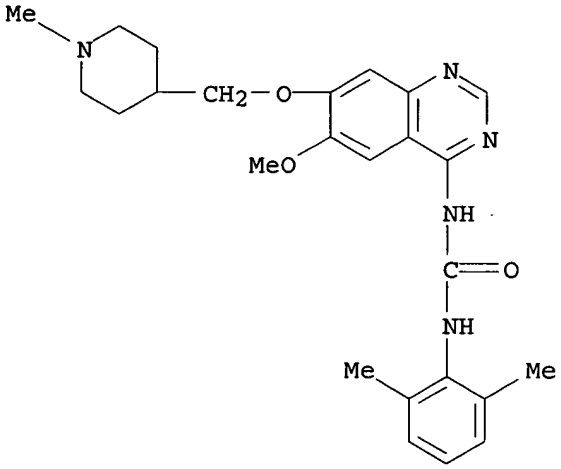
RN 320363-09-5 CAPLUS
CN Urea, N-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]-N'-[2-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



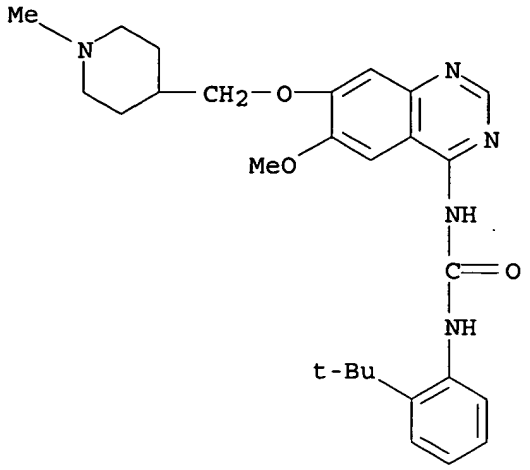
RN 320363-10-8 CAPLUS
CN Urea, N-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]-N'-(2-methylphenyl)- (9CI) (CA INDEX NAME)



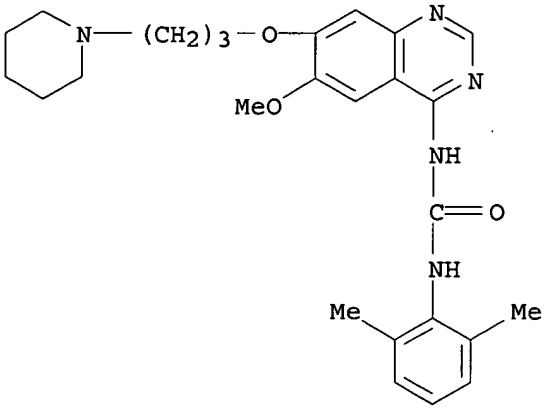
RN 320363-11-9 CAPLUS
CN Urea, N-(2,6-dimethylphenyl)-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



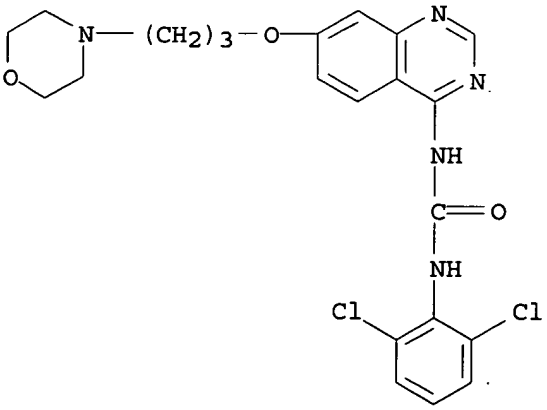
RN 320363-12-0 CAPLUS
CN Urea, N-[2-(1,1-dimethylethyl)phenyl]-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)

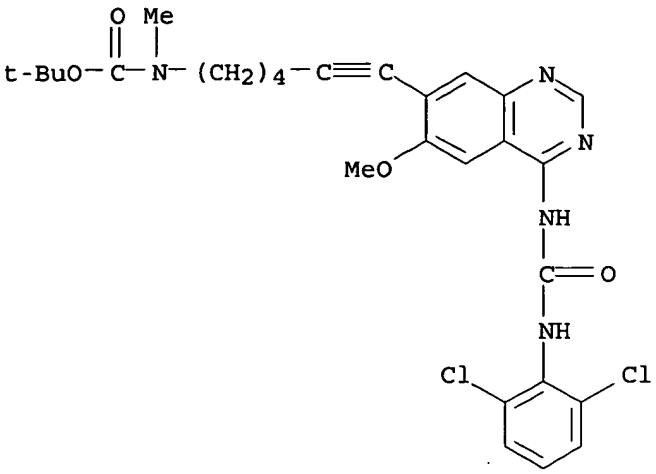


RN 320363-13-1 CAPLUS
CN Urea, N-(2,6-dimethylphenyl)-N'-[6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 320363-14-2 CAPLUS
CN Urea, N-(2,6-dichlorophenyl)-N'-[7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)

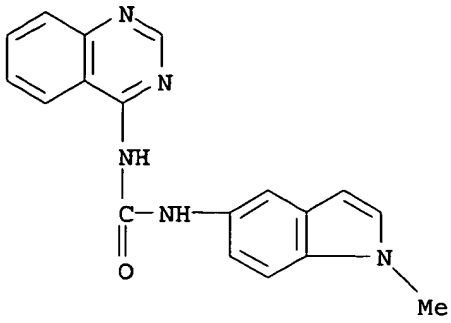




L3 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:518623 CAPLUS
DOCUMENT NUMBER: 135:313150
TITLE: 1,3-Biarylureas as selective non-peptide antagonists of the orexin-1 receptor
AUTHOR(S): Porter, R. A.; Chan, W. N.; Coulton, S.; Johns, A.; Hadley, M. S.; Widdowson, K.; Jerman, J. C.; Brough, S. J.; Coldwell, M.; Smart, D.; Jewitt, F.; Jeffrey, P.; Austin, N.
CORPORATE SOURCE: New Frontiers Science Park North, GlaxoSmithKline Pharmaceuticals, Harlow, Essex, CM19 5AW, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1907-1910
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This communication reports SARs for the first orexin-1 receptor antagonist series of 1-aryl-3-quinolin-4-yl and 1-aryl-3-naphthyridin-4-yl ureas. One of these compds., 31 (SB-334867), has excellent selectivity for the orexin-1 receptor, blood-brain barrier permeability and shows in vivo activity following i.p. dosing.

IT **367953-08-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(1,3-Biarylureas as selective non-peptide antagonists of orexin-1 receptor)
RN 367953-08-0 CAPLUS
CN Urea, N-(1-methyl-1H-indol-5-yl)-N'-4-quinazolinyl- (9CI) (CA INDEX NAME)



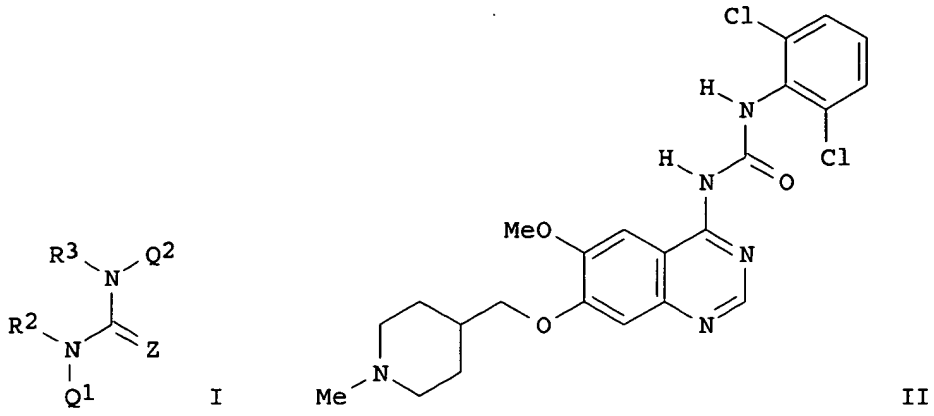
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:50631 CAPLUS
DOCUMENT NUMBER: 134:100885
TITLE: Preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions
INVENTOR(S): Crawley, Graham Charles; McKerrecher, Darren; Poyser, Jeffrey Philip; Hennequin, Laurent Francois Andre; Ple, Patrick; Lambert, Christine Marie-Paul
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca Pharma S.A.
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004102	A1	20010118	WO 2000-GB2566	20000704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012157	A	20020402	BR 2000-12157	20000704
EP 1218353	A1	20020703	EP 2000-953271	20000704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003504360	T2	20030204	JP 2001-509712	20000704
NO 2002000042	A	20020304	NO 2002-42	20020104

PRIORITY APPLN. INFO.: EP 1999-401692 A 19990707
EP 2000-401221 A 20000504
WO 2000-GB2566 W 20000704

OTHER SOURCE(S): MARPAT 134:100885
GI



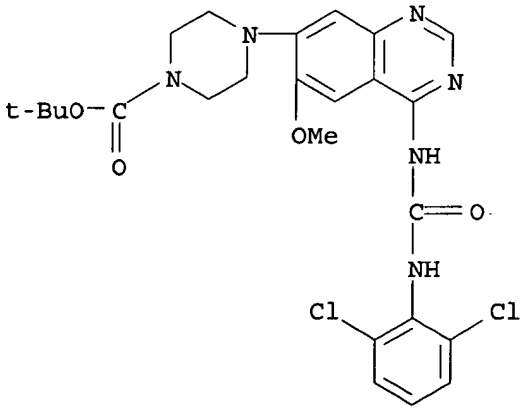
I II

AB The title compds. [I; Q1 = quinazoline ring optionally substituted with halo, CF3 or CN, or a group X1Q3 (wherein X1 = a direct bond, O; Q3 = aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl); R2, R3 = H, alkyl; Z = O, S, NH; Q2 = aryl, arylalkyl] and their pharmaceutically-acceptable salts, useful in the prevention or treatment of T cell mediated diseases or medical conditions such as transplant rejection or rheumatoid arthritis, were prepd. and formulated. E.g., a multi-step synthesis of the urea II was given. In general, activity possessed by compds. I may be demonstrated at IC50 of 0.0001- 5 .mu.M against enzyme p56lck binding and IC50 of 0.001-10 .mu.M in in vitro T cell proliferation assay (T cell receptor stimulation).

IT **320364-63-4P 320365-15-9P 320365-16-0P 320365-17-1P 320365-18-2P 320365-19-3P 320365-36-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions)

RN 320364-63-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[(2,6-dichlorophenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

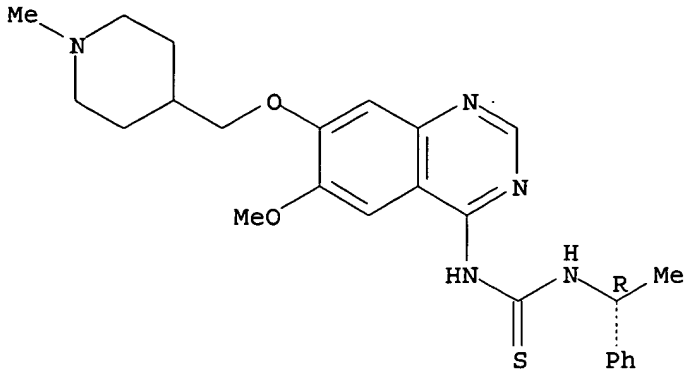


RN 320365-15-9 CAPLUS

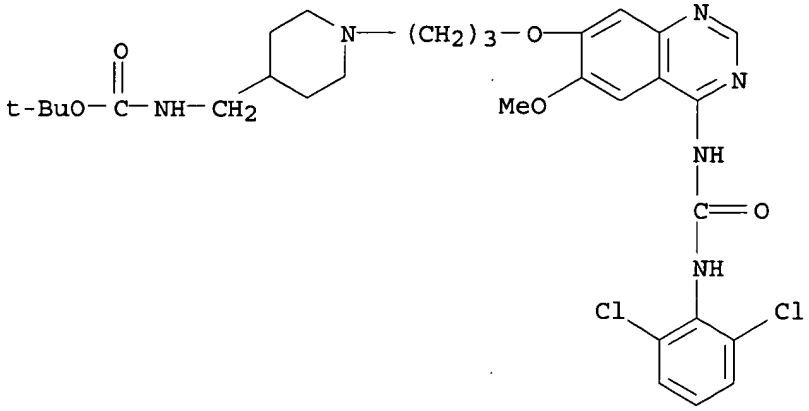
10/ 019,945

CN Thiourea, N-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]-N'-[(1R)-1-phenylethyl]- (9CI) (CA INDEX NAME)

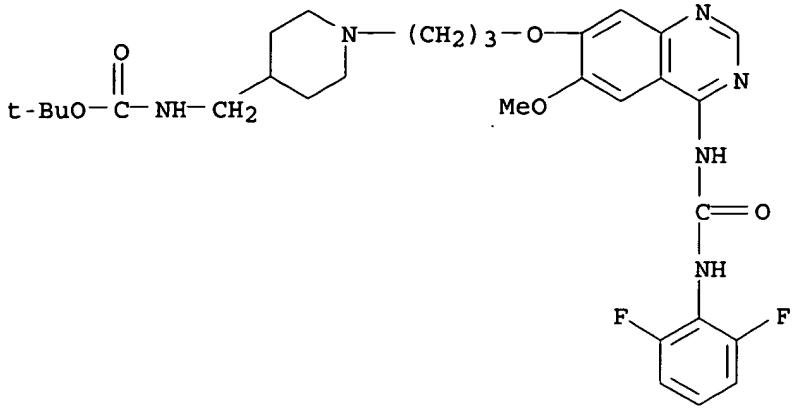
Absolute stereochemistry. Rotation (+).



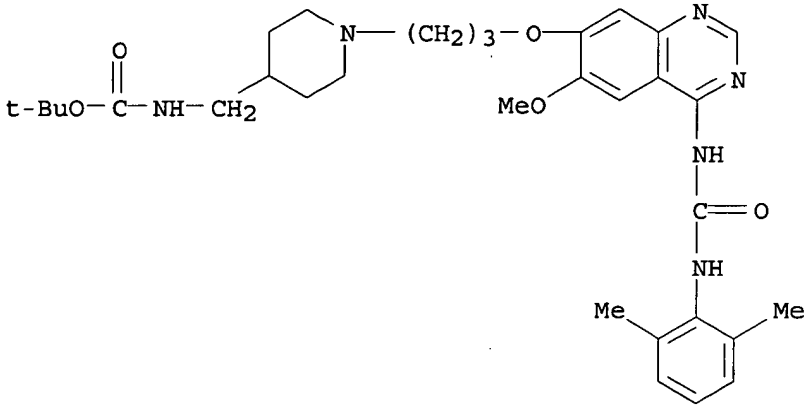
RN 320365-16-0 CAPLUS
CN Carbamic acid, [[1-[3-[[4-[[[(2,6-dichlorophenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



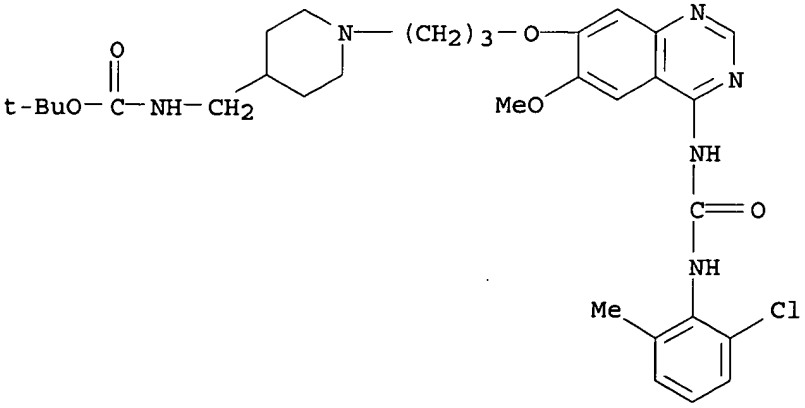
RN 320365-17-1 CAPLUS
CN Carbamic acid, [[1-[3-[[4-[[[(2,6-difluorophenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

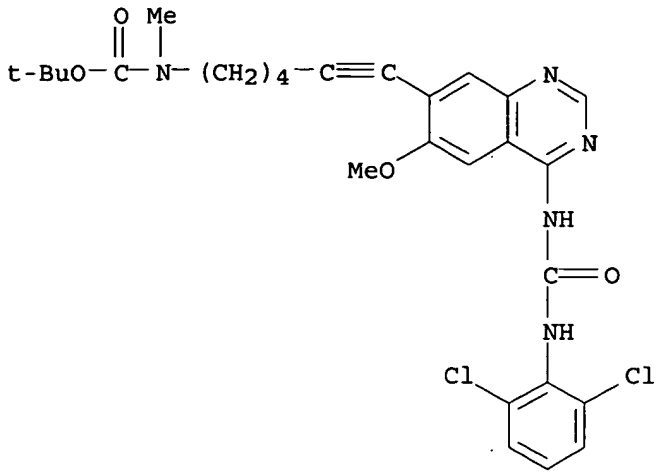


RN 320365-18-2 CAPLUS
CN Carbamic acid, [[1-[3-[[4-[[[(2,6-dimethylphenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

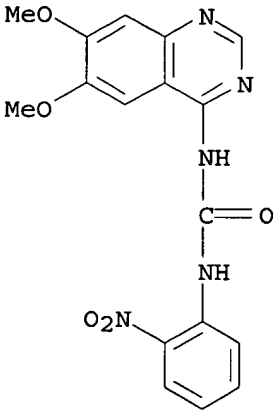


RN 320365-19-3 CAPLUS
CN Carbamic acid, [[1-[3-[[4-[[[(2-chloro-6-methylphenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)





IT **320366-82-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions)
RN 320366-82-3 CAPLUS
CN Urea, N-(6,7-dimethoxy-4-quinazolinyl)-N'-(2-nitrophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:304988 CAPLUS
DOCUMENT NUMBER: 133:89495
TITLE: Isoquinoline and Quinazoline Urea Analogues as Antagonists for the Human Adenosine A3 Receptor
AUTHOR(S): Van Muijlwijk-Koezen, Jacqueline E.; Timmerman, Henk; Van der Goot, Henk; Menge, Wiro M. P. B.; Von Kuenzel, Jacobien Frijtag; De Groote, Miriam; IJzerman, Adriaan P.
CORPORATE SOURCE: Leiden/Amsterdam Center for Drug Research Division of Medicinal Chemistry Department of Pharmacochemistry, Vrije Universiteit, Amsterdam, 1081 HV, Neth.

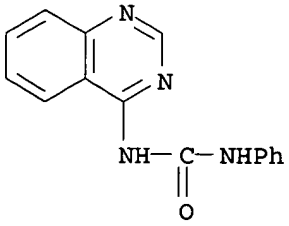
10/ 019,945

SOURCE: Journal of Medicinal Chemistry (2000), 43(11), 2227-2238
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Isoquinoline and quinazoline urea derivs. were found to bind to human adenosine A3 receptors. Series of N-phenyl-N'-quinazolin-4-ylurea derivs. and N-phenyl-N'-isoquinolin-1-ylurea derivs. were synthesized and tested in radioligand binding assays on their adenosine receptor affinities. A structure-affinity anal. indicated that on the 2-position of the quinazoline ring or the equiv. 3-position of the isoquinoline ring a Ph or heteroaryl substituent increased the adenosine A3 receptor affinity in comparison to unsubstituted or aliph. derivs. Furthermore, the structure-affinity relationship of substituted phenylurea analogs was investigated. Substituents such as electron-withdrawing or electron-donating groups were introduced at different positions of the benzene ring to probe electronic and positional effects of substitution. Substitution on the 3- or 4-position of the Ph ring decreased the adenosine A3 receptor affinity. Substitution at position 2 with an electron-donating substituent, such as Me or methoxy, increased human adenosine A3 receptor affinity, whereas substitution on the 2-position with an electron-withdrawing substituent did not influence affinity. Combination of the optimal substituents in the two series had an additive effect, which led to the potent human adenosine A3 receptor antagonist N-(2-methoxyphenyl)-N'-(2-(3-pyridyl)quinazolin-4-yl)urea (VUF5574, I) showing a Ki value of 4 nM and being at least 2500-fold selective vs. A1 and A2A receptors. Compd. I competitively antagonized the effect of an agonist in a functional A3 receptor assay, i.e., inhibition of cAMP prodn. in cells expressing the human adenosine A3 receptor; a pA2 value of 8.1 was derived from a Schild plot. In conclusion, compd. I is a potent and selective human adenosine A3 receptor antagonist and might be a useful tool in further characterization of the human A3 receptor.

IT **280138-90-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of isoquinoline and quinazoline urea analogs as antagonists for human adenosine A3 receptor)

RN 280138-90-1 CAPLUS
CN Urea, N-phenyl-N'-4-quinazolinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:745041 CAPLUS
DOCUMENT NUMBER: 130:10618
TITLE: Modulating serine/threonine protein kinase function with quinazoline-based compounds and their use as antitumor and anti-fibrotic agents
INVENTOR(S): Tang, Peng C.; McMahon, Gerald; Weinberger, Heinz;

10/ 019,945

	Kutscher, Bernhard; App, Harald
PATENT ASSIGNEE(S):	Sugen, Inc., USA
SOURCE:	PCT Int. Appl., 147 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

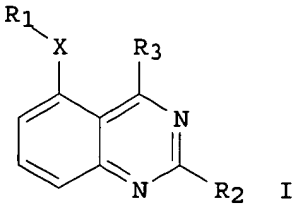
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850370	A1	19981112	WO 1998-US9060	19980501
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
ZA 9803669	A	19991101	ZA 1998-3669	19980430
AU 9872829	A1	19981127	AU 1998-72829	19980501
EP 981519	A1	20000301	EP 1998-920203	19980501
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			
US 6204267	B1	20010320	US 1998-71682	19980501
JP 2001524128	T2	20011127	JP 1998-548336	19980501
US 2001014679	A1	20010816	US 2001-769360	20010126

PRIORITY APPLN. INFO.:	US 1997-45351P	P	19970502
	US 1997-60152P	P	19970926
	US 1998-71682	A3	19980501
	WO 1998-US9060	W	19980501

105

OTHER SOURCE(S): CASREACT 130:10618; MARPAT 130:10618

GI



I

AB The present invention is directed in part towards methods of modulating the function of serine/threonine protein kinases with quinazoline-based compds (I). The methods incorporate cells that express a serine/threonine protein kinase, such as RAF. In addn., the invention describes methods of preventing and treating serine/threonine protein kinase-related abnormal conditions (e.g., tumors, fibrotic disorders, or other signal transduction aberrations) in organisms with a compd. identified by the invention. Furthermore, the invention pertains to quinazoline compds. and pharmaceutical compns. comprising these compds. Syntheses and biol. activities are are provided for 38 quinazoline-based compds.

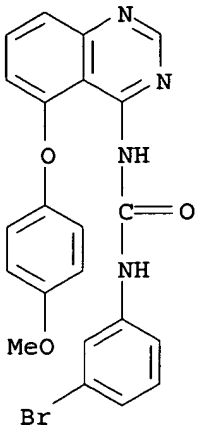
IT **212632-66-1P 212632-67-2P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

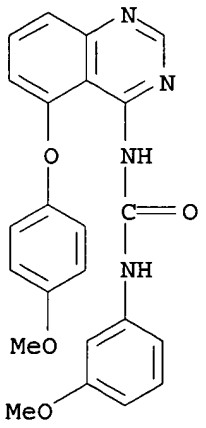
(modulating serine/threonine protein kinase function with

quinazoline-based compds. and their use as antitumor and anti-fibrotic agents)

RN 212632-66-1 CAPLUS
CN Urea, N-(3-bromophenyl)-N'-[5-(4-methoxyphenoxy)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 212632-67-2 CAPLUS
CN Urea, N-[5-(4-methoxyphenoxy)-4-quinazolinyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:612013 CAPLUS
DOCUMENT NUMBER: 129:221202
TITLE: Formulations for hydrophobic pharmaceutical agents
INVENTOR(S): Shenoy, Narmada; Wagner, Gregory S.
PATENT ASSIGNEE(S): Sugen, Inc., USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9838984	A2	19980911	WO 1998-US4134	19980304
WO 9838984	A3	19990128		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9866806	A1	19980922	AU 1998-66806	19980304
AU 743024	B2	20020117		
EP 1014953	A2	20000705	EP 1998-908884	19980304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 337394	A	20010525	NZ 1998-337394	19980304
US 6248771	B1	20010619	US 1998-34374	19980304
JP 2001514626	T2	20010911	JP 1998-538698	19980304
NZ 510991	A	20021126	NZ 1998-510991	19980304
US 2001012844	A1	20010809	US 2001-797842	20010305

PRIORITY APPLN. INFO.:

US 1997-39870P	P	19970305
US 1997-41251P	P	19970318
US 1998-34374	A3	19980304
WO 1998-US4134	W	19980304

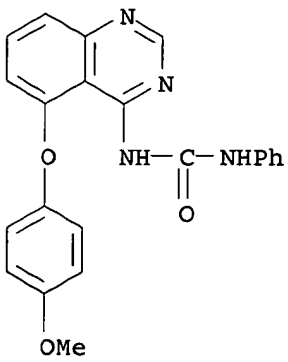
OTHER SOURCE(S): MARPAT 129:221202

AB The present invention features formulations, including liq., semi-solid or solid pharmaceutical formulations, that improve the oral bioavailability of hydrophobic pharmaceutical agents, such as quinazoline-, nitrothiazole-, and indolinone-based compds. Also featured are formulations for parenteral delivery of such hydrophobic pharmaceutical agents, as well as methods of making and using both types of formulations. A claimed formulation comprises the hydrophobic pharmaceutical agents, polyoxyhydrocarbyl compds, and surfactants. A parenteral soln. contained 3-[(2,4-dimethylpyrrol-5-yl)methylene]-2-indolinone 5, PEG-400 35, Cremophor EL 25, benzyl alc. 2, ethanol 11.4, and sterile water to 100 % wt./vol.

IT **212632-65-0P 212632-66-1P 212632-67-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydrophobic quinazoline drugs in; formulations for hydrophobic drugs contg. polyoxyhydrocarbyl compds. and surfactants to improve soly.)

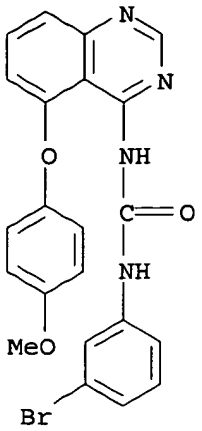
RN 212632-65-0 CAPLUS

CN Urea, N-[5-(4-methoxyphenoxy)-4-quinazolinyl]-N'-phenyl- (9CI) (CA INDEX NAME)

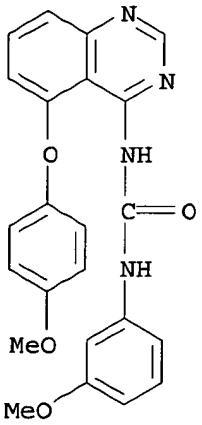


10/ 019,945

RN 212632-66-1 CAPLUS
CN Urea, N-(3-bromophenyl)-N'-[5-(4-methoxyphenoxy)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 212632-67-2 CAPLUS
CN Urea, N-[5-(4-methoxyphenoxy)-4-quinazolinyl]-N'-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1997:741244 CAPLUS
DOCUMENT NUMBER:	128:70433
TITLE:	Epidermal growth factor receptor tyrosine kinase: structure-activity relationships and antitumor activity of novel quinazolines
AUTHOR(S):	Gibson, K. H.; Brundy, W.; Godfrey, A. A.; Woodburn, J. R.; Ashton, S. E.; Curry, B. J.; Scarlett, L.; Barker, A. J.; Brown, D. S.
CORPORATE SOURCE:	Research Dep. Cancer, Metabolism and Endocrine, Zeneca Pharmaceuticals, Alderley Park, Macclesfield, Cheshire, SK10 4TG, UK
SOURCE:	Bioorganic & Medicinal Chemistry Letters (1997), 7(21), 2723-2728 CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:	Elsevier Science Ltd.
DOCUMENT TYPE:	Journal
LANGUAGE:	English

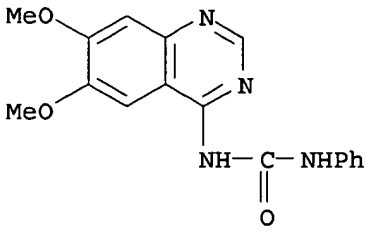
10/ 019,945

AB Investigation of structure-activity relationships of novel quinazolines had identified a 4-(4-isoquinolylamino)-quinazoline and a 4-(trans-2-phenylcyclopropylamino)-quinazoline as potent inhibitors of EGF-receptor tyrosine kinase in vitro. Further modifications of the latter compd. have identified a deriv. which shows anti-tumor activity against a tumor xenograft model when doses orally once per day.

IT **200719-54-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor activity of EGF-receptor tyrosine kinase-inhibiting quinazolines)

RN 200719-54-6 CAPLUS

CN Urea, N-(6,7-dimethoxy-4-quinazolinyl)-N'-phenyl- (9CI) (CA INDEX NAME)



P10130 ark

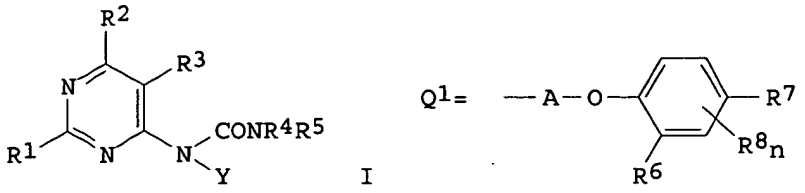
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:459210 CAPLUS
DOCUMENT NUMBER: 113:59210
TITLE: Preparation of 4-ureidopyrimidines as agrochemicals
INVENTOR(S): Obata, Tokio; Fujii, Katsutoshi; Narita, Isamu; Shikita, Shoji
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

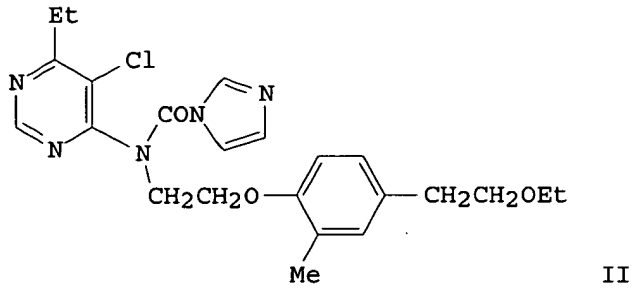
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 356158	A1	19900228	EP 1989-308382	19890817
R: DE, ES, FR, GB, IT				
JP 02223564	A2	19900905	JP 1989-199208	19890802
JP 07020943	B4	19950308		
ZA 8906308	A	19900530	ZA 1989-6308	19890818
US 5073558	A	19911217	US 1989-427818	19891026

PRIORITY APPLN. INFO.: JP 1988-204728 19880819
JP 1988-300996 19881130
US 1989-394197 19890815

OTHER SOURCE(S): MARPAT 113:59210
GI



I



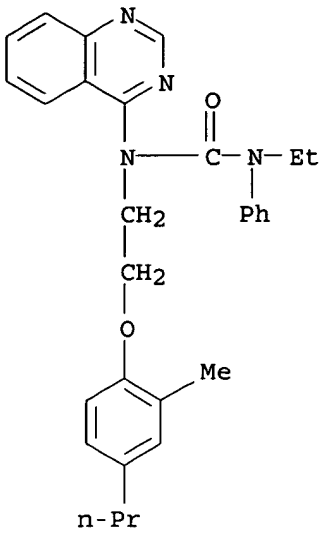
II

AB The title compds. [I; R1 = H, halo, alkyl, cycloalkyl; R2, R3 = halo, alkyl; R2R3 = atoms to complete an (O- or S-interrupted) (satd.) 5- or 6-membered ring; R4, R5 = H, alkyl, formyl, aralkyl, (substituted) Ph; R4R5N = (N-, O-, or S-interrupted) (substituted) 5- or 6-membered ring; Y = Q1, CHR9(CH2)mR10; A = C2-6 alkylene; R6, R8 = H, alkyl, halo; n = 1, 2; R7 = H, alkenyl, (substituted) dioxolanylmethyl, ethoxyiminoalkyl, alkyl; R9 = H, alkyl; m = 4-15; R10 = alkyl, alkoxy, halo, AcO, (substituted) PhO] were prepd. Thus, 5-chloro-N-[2-[4-(2-ethoxyethyl)-2-methylphenoxy]ethyl]-6-ethyl-4-pyrimidineamine was treated with Cl3COCOCl and Et3N to give the N-chlorocarbonyl deriv., which was treated with imidazole and Et3N to give [(imidazolylcarbonyl)amino]pyrimidine II. II as a 300 ppm soln. gave complete control of brown rice plant hoppers.

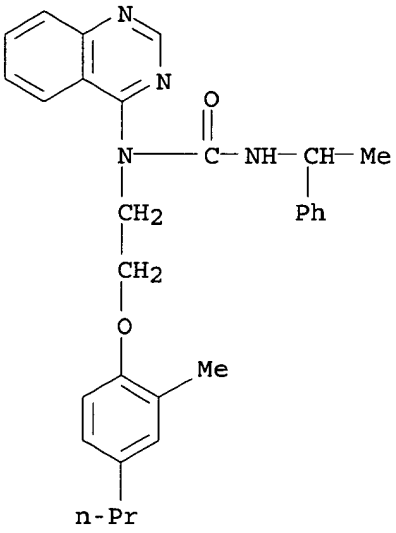
IT **128335-57-9P 128335-58-0P 128335-61-5P**
128335-97-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as agrochem. bactericide, acaricide, nematocide, and insecticide)

RN 128335-57-9 CAPLUS

CN Urea, N-ethyl-N'-[2-(2-methyl-4-propylphenoxy)ethyl]-N-phenyl-N'-4-quinazolinyl- (9CI) (CA INDEX NAME)

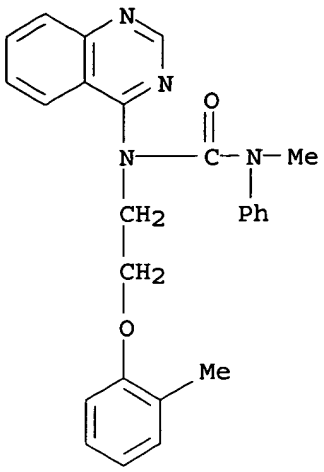


RN 128335-58-0 CAPLUS
CN Urea, N-[2-(2-methyl-4-propylphenoxy)ethyl]-N'-(1-phenylethyl)-N-4-quinazolinyl- (9CI) (CA INDEX NAME)

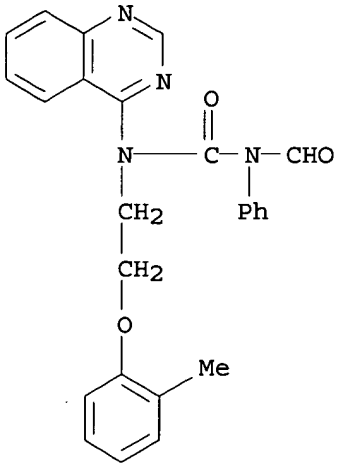


RN 128335-61-5 CAPLUS
CN Urea, N-methyl-N'-[2-(2-methylphenoxy)ethyl]-N-phenyl-N'-4-quinazolinyl- (9CI) (CA INDEX NAME)

10/ 019,945



RN 128335-97-7 CAPLUS
CN Urea, N-formyl-N'-[2-(2-methylphenoxy)ethyl]-N'-4-quinazolinyl-N-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:626078 CAPLUS
DOCUMENT NUMBER: 105:226078
TITLE: Benzoylurea derivatives having antitumor activity
INVENTOR(S): Brouwer, Marius S.; Van Hes, Roelof
PATENT ASSIGNEE(S): Duphar International Research B. V., Neth.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 193249	A2	19860903	EP 1986-200300	19860227
EP 193249	A3	19880316		

R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE

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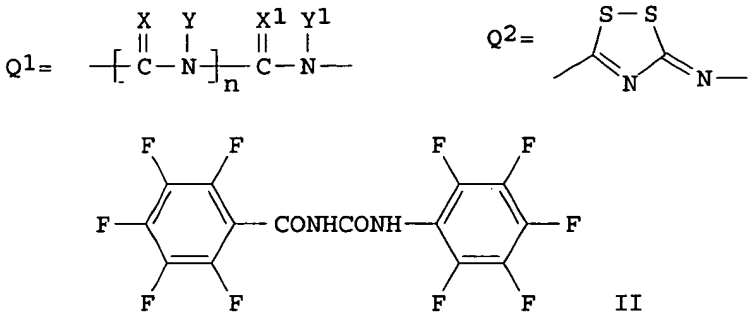
DK 8600881	A	19860902	DK 1986-881	19860226
AU 8654108	A1	19860904	AU 1986-54108	19860226
AU 601145	B2	19900906		
ZA 8601446	A	19861029	ZA 1986-1446	19860226
ES 552432	A1	19880301	ES 1986-552432	19860226
JP 61218569	A2	19860929	JP 1986-42838	19860301

PRIORITY APPLN. INFO.: NL 1985-572 19850301

GI



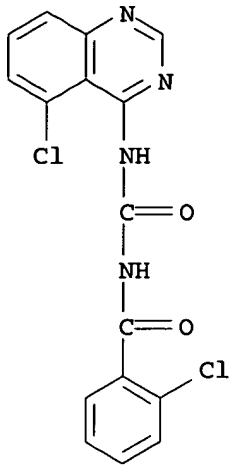
II

AB Benzoylureas R1ZR2 [I; R1 = (a)cyclic (di)(alkyl)amino, (un)substituted aryl, heteroaryl, styryl, aralkyl; R2 = (di)(alkyl)amino, (halo)alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, aralkyl; Z = Q1, Q2; X, X1 = O, S, NH, alkylimino, dialkylamino (where XY forms double bond to adjacent N atom); Y, Y1 = H, haloalkyl; n = 1, 2; various specified exclusions] are prepd. as antitumor agents (approx. 120 compds.). Thus, pentafluorobenzoyl isocyanate was added to pentafluoroaniline in Et2O at room temp. and the mixt. stirred 2 h to give 70% (pentafluorobenzoyl)(pentafluorophenyl)urea II. At 50 .mu.g/mL in vitro, II gave 81-100% inhibition of B16 melanoma cell growth, vs. 1-60% inhibition by several known benzoylurea derivs. at 500 .mu.g/mL. I were also tested against several other human tumor cell lines.

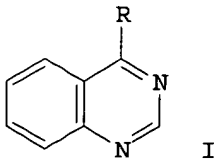
IT **105353-87-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antitumor agent)

RN 105353-87-5 CAPLUS

CN Benzamide, 2-chloro-N-[[(5-chloro-4-quinazolinyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:455767 CAPLUS
DOCUMENT NUMBER: 97:55767
TITLE: Some reactions of 4-chloroquinazoline, 6-nitro- and 6-amino-4(3H)-quinazolones
AUTHOR(S): Anwar, M.; Abdel-Hay, F. I.; Elbarbary, A. A.; El-Borai, M.
CORPORATE SOURCE: Fac. Sci., Tanta Univ., Tanta, Egypt
SOURCE: Revue Roumaine de Chimie (1981), 26(11-12), 1469-78
CODEN: RRCHAX; ISSN: 0035-3930
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 97:55767
GI



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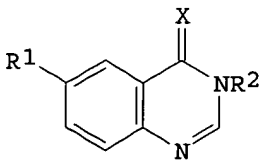
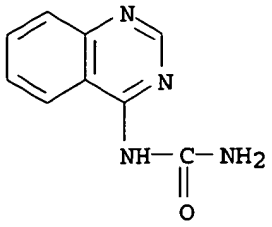


II

AB Quinazolines I [R = NHCONH2, NHCHO, NHAc, NAcPh, NAcC6H4Me-2, NAcC6H4Me-4, N-acetyl-N-1-naphthylamino, NHNHC6H4NO2-4, NHNHC6H3(NO2)2-2,4] were prepd. by aminating I (R = Cl). II (X = O, S; R1 = H, NO2; R2 = aminomethyl) were obtained by aminomethylating II (R2 = H). II (X = O, R1 = NH2, R2 = H) was treated with MeCOCH2CO2Et to give II (X = O, R1 = NHCOCH2COMe, R2 = H) which was treated with 4-R3C6H4N2+ (R3 = H, Me, OMe) to give II [X = O, R1 = 4-R3C6H4N:NC(:CMeOH)CONH, R2 = H].

IT **82435-97-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 82435-97-0 CAPLUS
CN Urea, 4-quinazolinyl- (9CI) (CA INDEX NAME)



L3 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:180265 CAPLUS
DOCUMENT NUMBER: 84:180265
TITLE: Quinazoline derivatives
INVENTOR(S): Danilewicz, John C.; Evans, Anthony Garth; Ham, Allan L.; Thomson, Colin
PATENT ASSIGNEE(S): Pfizer Inc., Panama
SOURCE: Ger. Offen., 61 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2530894	A1	19760205	DE 1975-2530894	19750710
DE 2530894	C2	19831222		
GB 1460389	A	19770106	GB 1975-416	19750106
IL 47625	A1	19810130	IL 1975-47625	19750702
AT 7505252	A	19771115	AT 1975-5252	19750708
SE 7508101	A	19760126	SE 1975-8101	19750715
SE 420921	B	19811109		
SE 420921	C	19820218		
CA 1060445	A1	19790814	CA 1975-231570	19750715
AU 7583174	A1	19770120	AU 1975-83174	19750718
PL 103798	P	19790731	PL 1975-193419	19750718
PL 103789	P	19790731	PL 1975-193420	19750718
PL 103791	P	19790731	PL 1975-193421	19750718
PL 103797	P	19790731	PL 1975-193423	19750718
PL 104615	P	19790831	PL 1975-193422	19750718
HU 174961	P	19800428	HU 1975-PI483	19750718
RO 71841	P	19800815	RO 1975-89559	19750719
RO 69296	P	19810830	RO 1975-82903	19750719
RO 71840	P	19820909	RO 1975-89560	19750719
JP 51036469	A2	19760327	JP 1975-89119	19750721
JP 55027062	B4	19800717		
DD 119046	C	19760405	DD 1975-187385	19750721
CS 192549	P	19790831	CS 1975-5147	19750721
FI 7502104	A	19760126	FI 1975-2104	19750722
FI 66182	B	19840531		
FI 66182	C	19840910		
BE 831654	A1	19750123	BE 1975-158540	19750723
DK 7503371	A	19760126	DK 1975-3371	19750724
DK 138800	C	19790409		
DK 138800	B	19781030		
NL 7508824	A	19760127	NL 1975-8824	19750724
NL 159982	B	19790417		
FR 2279406	A1	19760220	FR 1975-23218	19750724
FR 2279406	B1	19800430		
US 4001422	A	19770104	US 1975-598723	19750724

ES 439690	A1	19770701	ES 1975-439690	19750724
CH 608803	A	19790131	CH 1975-9692	19750724
CH 611616	A	19790615	CH 1978-7113	19750724
SU 578874	D	19771030	SU 1975-2162232	19750725
JP 55030796	B4	19800813	JP 1976-5382	19760120
SU 858563	A3	19810823	SU 1976-2386166	19760802
SU 625606	D	19780925	SU 1976-2388320	19760810
SU 634671	D	19781125	SU 1976-2388318	19760810
AT 7704532	A	19771115	AT 1977-4532	19770627
AT 7704531	A	19771115	AT 1977-4531	19770627
AT 7704530	A	19771115	AT 1977-4530	19770627
CS 192534	P	19790831	CS 1977-8425	19771215
CS 192535	P	19790831	CS 1977-8426	19771215
CH 615674	A	19800215	CH 1978-7112	19780629

PRIORITY APPLN. INFO.:

GB 1974-32805	19740725
GB 1975-416	19750106
AT 1975-5252	19750708
CS 1975-5147	19750721
CH 1975-9692	19750724

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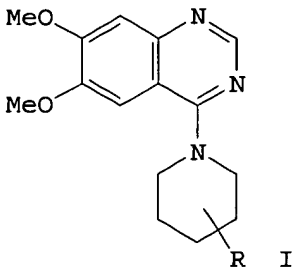


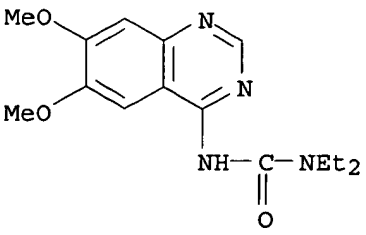
I

AB Pos. inotropic and chronotropic (no data) piperidinoquinazolines I (R = acylamino, ureido, thioureido, N-alkyl-N-acylamino, N-alkylureido, N-alkylthioureido, carbamoyloxy) (.apprx.90 compds.) were prepd. Thus 45 g 4-chloro-6,7-dimethoxyquinazoline was treated with 80 g 4-(3-butylureido)piperidine-HCl to give 21 g I (R = 4-NHCONHBu).

IT **59185-38-5P 59351-54-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 59185-38-5 CAPLUS

CN Urea, N'-(6,7-dimethoxy-4-quinazolinyl)-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

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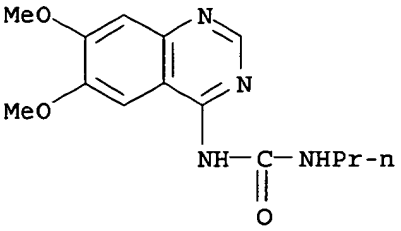
RN 59351-54-1 CAPLUS
CN Urea, N-(6,7-dimethoxy-4-quinazolinyl)-N'-propyl- (9CI) (CA INDEX NAME)



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FILE 'CAPLUS' ENTERED AT 12:59:11 ON 25 JUL 2003
L3 13 S L2

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